

Taselisib

Catalog No: tcsc1817



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg



Specifications

CAS No:

1282512-48-4

Formula:

$C_{24}H_{28}N_8O_2$

Pathway:

PI3K/Akt/mTOR

Target:

PI3K

Purity / Grade:

>98%

Solubility:

DMSO : 50 mg/mL (108.57 mM; Need ultrasonic)

Alternative Names:

GDC-0032;RG-7604

Observed Molecular Weight:

460.53

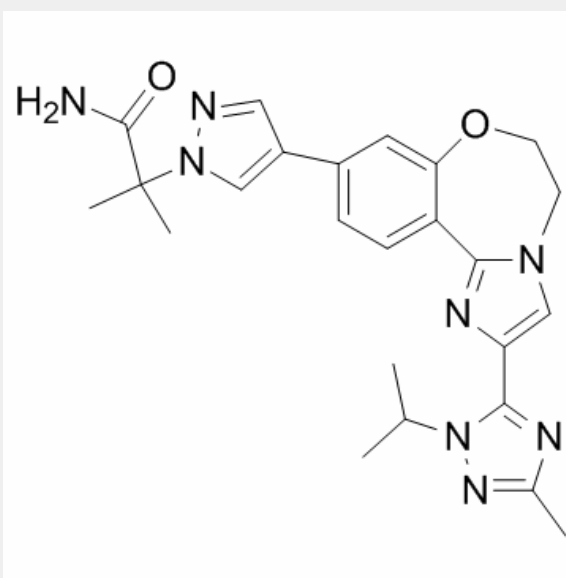
Product Description

Taselisib (GDC-0032) is a potent β -sparing small molecule inhibitor of **PI3K**, with **K_i** values of 0.29 nM, 0.91 nM, 0.97 nM for PI3K α , PI3K β and PI3K γ , respectively.

IC50 & Target: K_i: 0.29 nM (PI3K α), 9.1 nM (PI3K β), 0.97 nM (PI3K γ), 0.12 nM (PI3K δ)^[3]

In Vitro: Taselisib (GDC-0032) (100 nM) inhibits AKT/mTOR signaling in PIK3CA mutant cell lines but not in cells with loss or mutation of PTEN; Taselisib (GDC-0032) enhances radiation-induced apoptosis and inhibits growth in head and neck cancer cell lines that are sensitive to its single-agent activity^[1]. Taselisib (GDC-0032) enhances the effects of MEK1/2 inhibition on both BRAF^{V600E}/PTEN^{Null} human melanoma cells autochthonous mouse melanomas^[2].

In Vivo: Taselisib (GDC-0032) (5 mg/kg, p.o.) potently impairs PI3K signaling and enhances the efficacy of fractionated radiotherapy; Taselisib (GDC-0032) and radiation is more effective than either treatment alone in nude mice implanted with subcutaneous Cal-33 xenografts^[1]. The vehicle-treated BRAFV600E/PTENNull melanoma-bearing mice experiences initial tumor regression after treatment with Taselisib (GDC-0032) (22.5 mg/kg, p.o.)^[2].



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